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=> file reg
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SINCE FILE TOTAL ENTRY SESSION 0.23 0.23

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0.51 0.74

FULL ESTIMATED COST

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FULL ESTIMATED COST

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=>

Uploading C:\Documents and Settings\PZucker\My Documents\Examination Auxillary files\10575122\10575122 amended claim 1 genus.str

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

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G1 O,S,N G2 O,N

Structure attributes must be viewed using STN Express query preparation.

=> search 11 sss sam
SAMPLE SEARCH INITIATED 10:07:04 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 248269 TO ITERATE

100.0% PROCESSED 248269 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.02

50 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

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PROJECTED ITERATIONS: 4936111 TO 4994649 PROJECTED ANSWERS: 32394 TO 37406

L2 50 SEA SSS SAM L1

=> d scan

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Benzeneacetamide, N-[3-chloro-4-[2-(diethylamino)-2-oxoethoxy]phenyl]-4fluoro-

MF C20 H22 C1 F N2 O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):20

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

MF C29 H22 C1 F4 N O3 S . K

● K

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Acetic acid, 2-[5-fluoro-2-[[2-(3-nitrophenyl)acetyl]amino]phenoxy]-, ethyl ester

MF C18 H17 F N2 O6

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN 4-Thiomorpholineacetamide, N-[4-[2-(dimethylamino)-2-oxoethoxy]phenyl]- α -phenyl-

MF C22 H27 N3 O3 S

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Benzenepropanamide, 2,3-dichloro-N-[4-[2-(ethylamino)-2-oxoethoxy]phenyl]-

MF C19 H20 Cl2 N2 O3

$$\begin{array}{c|c} \mathbf{C} & \mathbf{C} & \mathbf{C} \\ \mathbf{E} & \mathbf{C} \\ \mathbf{C} & \mathbf{C} \\ \mathbf{C} \\$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Acetic acid, 2-[4-[(1-[1,1'-biphenyl]-4-yl-2-phenylethyl)thio]-2methylphenoxy]-

MF C29 H26 O3 S

CI COM

$$\begin{array}{c|c} \text{Ph} & \text{Me} \\ \text{CH}_2\text{--Ph} & \text{O--CH}_2\text{--}\text{CO}_2\text{H} \\ \text{CH}-\text{S} & \text{CH}-\text{S} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN 2-Thiopheneacetamide, N-[3-(2-amino-2-oxoethoxy)phenyl]- α -[(4-fluorophenyl)methylene]-

MF C21 H17 F N2 O3 S

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C19 H20 N4 O5 S

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN 2-Propenamide, N-[4-[2-(ethylamino)-2-oxoethoxy]phenyl]-3-[3-methoxy-4-(2-methylpropoxy)phenyl]-

MF C24 H30 N2 O5

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Acetic acid, 2-[2-methyl-4-[[2-phenyl-1-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]ethyl]thio]phenoxy]-, potassium salt (1:1)

MF C30 H25 F3 O3 S . K

● K

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Propanoic acid, 2-[4-[2-[3-hydroxy-4-(1-oxo-3-phenyl-2-propen-1-phenyl-2-phenyl

yl)phenyl]ethoxy]phenoxy]-2-methyl-

MF C27 H26 O6

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Acetic acid, 2-[3-[[2-(3-fluorophenyl)acetyl]amino]phenoxy]-, ethyl ester

MF C18 H18 F N O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Benzeneacetamide, N-[3-(2-amino-2-oxoethoxy)phenyl]-4-chloro-

MF C16 H15 C1 N2 O3

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Acetic acid, 2-[4-[[(2E)-3-(4-bromophenyl)-3-phenyl-2-propen-1-yl]thio]phenoxy]-

MF C23 H19 Br O3 S

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Acetic acid, 2-[2-methyl-4-[[3-[3-[3-(4-morpholinyl)-1-propyn-1-yl]-5-(2-phenylethynyl)phenyl]-2-propyn-1-yl]oxy]phenoxy]-

MF C33 H29 N O5

$$\begin{array}{c} \text{Me} \\ \text{HO}_2\text{C}-\text{CH}_2-\text{O} \\ \text{O}-\text{CH}_2-\text{C} \\ \text{C} \\ \text{C$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN L-Glutamic acid, N-[5-[[(1S)-1-carboxy-2-phenylethyl]amino]-2,4-dinitrophenyl]-

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Acetic acid, 2-[4-[[3-(4-methoxyphenyl)-1-oxopropyl]amino]phenoxy]-

MF C18 H19 N O5

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Acetic acid, 2-[5-fluoro-2-[[2-(3-nitrophenyl)-1-

thioxoethyl]amino]phenoxy]-, octyl ester

MF C24 H29 F N2 O5 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Acetic acid, 2-[4-[[(2Z)-3-[4-(1,1-dimethylethyl)phenyl]-3-[4-[3-(1H-pyrazol-1-yl)-1-propyn-1-yl]phenyl]-2-propen-1-yl]oxy]-2-methylphenoxy]-,

methyl ester MF C35 H36 N2 O4

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN L-Tyrosine, N-[5-[[(1S)-1-carboxy-3-methylbutyl]amino]-2,4-dinitrophenyl]-

MF C21 H24 N4 O9

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
- IN Acetic acid, 2-[4-[[2-(2,6-difluorophenyl)-1-(4-phenoxyphenyl)ethyl]thio]-2-methylphenoxy]-
- MF C29 H24 F2 O4 S
- CI COM

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):20

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Acetic acid, 2-[2-[[2-(1,3-benzodioxol-5-yl)acetyl]amino]-5-chlorophenoxy]-

MF C17 H14 C1 N O6

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Acetic acid, 2-[4-[(2E)-3-(4-chlorophenyl)-3-[4-[3-(1H-pyrazol-1-yl)-1-propyn-1-yl]phenyl]-2-propen-1-yl]oxy]-2-methylphenoxy]-

MF C30 H25 C1 N2 O4

Double bond geometry as shown.

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN IN Acetamide, 2-[4-[(3-phenylpropyl)amino]phenoxy]-MF C17 H20 N2 O2

$$\begin{array}{c} \text{O} \\ \parallel \\ \text{O-CH}_2\text{-C-NH}_2 \end{array}$$
 Ph- (CH₂)₃-NH

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
- IN Acetic acid, 2-[2-methyl-4-[[1-[3-[4-(trifluoromethyl)phenyl]-5-isoxazolyl]-2-(3,4,5-trifluorophenyl)ethyl]thio]phenoxy]-
- MF C27 H19 F6 N O4 S
- CI COM

- L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
- IN Acetic acid, 2-[2-[[2-(4-bromo-2-fluorophenyl)acetyl]amino]-4methylphenoxy]-
- MF C17 H15 Br F N O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
- IN Acetic acid, 2-[2-methyl-4-[[(2Z)-3-(4-methylphenyl)-3-[4-[3-(4-morpholinyl)-1-propyn-1-yl]phenyl]-2-propen-1-yl]oxy]phenoxy]-, methyl ester
- MF C33 H35 N O5

Double bond geometry as shown.

- L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
- IN Benzeneacetamide, N-[3-chloro-4-[2-(diethylamino)-2-oxoethoxy]phenyl]-2methyl-
- MF C21 H25 C1 N2 O3

$$\begin{array}{c|c} \text{Me} & \text{O} & \text{C1} & \text{O} \\ \text{Me} & \text{O} & \text{CH}_2-\text{C}-\text{NEt}_2 \\ \\ \text{CH}_2-\text{C}-\text{NH} & \text{CH}_2-\text{C}-\text{NET}_2 \\ \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
- MF C33 H31 F3 O3 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Acetic acid, 2-[4-[(2E)-3-(4-fluorophenyl)-3-phenyl-2-propen-1-yl]thio]phenoxy]-

MF C23 H19 F O3 S

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Benzeneacetamide, N-[2-fluoro-5-[[2-[[(methylamino)carbonyl]amino]-2oxoethyl]amino]phenyl]-

MF C18 H19 F N4 O3

$$\begin{array}{c|c} & \circ & \circ \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & || \\ & ||$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN L-Arginine, N2-[5-[[(1S)-2-amino-2-oxo-1-(phenylmethyl)ethyl]amino]-2,4dinitrophenyl]-

MF C21 H26 N8 O7

Absolute stereochemistry.

- L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
- IN Acetic acid, 2-[2-methyl-4-[[1-(3',4',5'-trifluoro[1,1'-biphenyl]-4-yl)-2-(3,4,5-trifluorophenyl)ethyl]thio]phenoxy]-
- MF C29 H20 F6 O3 S
- CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
- IN 2-Propenoic acid, 3-phenyl-, 4-[(2-methoxy-2-oxoethyl)]((2E)-1-oxo-3-phenyl-2-propen-1-yl]amino]phenyl ester, (2E)-
- MF C27 H23 N O5

Double bond geometry as shown.

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Acetic acid, 2-[4-[(2Z)-4-[1,1'-biphenyl]-4-yl-6-(4-methoxyphenyl)-2-hexen-5-yn-1-yl]thio]-2-methylphenoxy]-

MF C34 H30 O4 S

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Propanamide, N-(5-chloro-2-benzoxazolyl)-2-[4-[[2-(4-chlorophenyl)-1,3,3,3-tetrafluoro-1-propen-1-yl]oxy]phenoxy]-, (2R)-

MF C25 H16 C12 F4 N2 O4

Absolute stereochemistry. Double bond geometry unknown.

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Acetic acid, 2-[5-chloro-2-[[2-[3-

(trifluoromethyl)phenyl]acetyl]amino]phenoxy]-

MF C17 H13 C1 F3 N O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

IN Acetic acid, 2-[2-methyl-4-[[3-[3-[3-(4-morpholinyl)-1-propyn-1-yl]-5-[2-[4-(trifluoromethyl)phenyl]ethynyl]phenyl]-2-propyn-1-yl]oxy]phenoxy]-, methyl ester

MF C35 H30 F3 N O5

$$\begin{array}{c|c} & & & & \\ & &$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN

Propanoic acid, 2-methyl-2-[[4-(4-phenylbutoxy)-4'-(trifluoromethoxy)[1,1'-ΙN biphenyl]-3-yl]oxy]-, ethyl ester C29 H31 F3 O5 MF

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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Acetic acid, 2-[4-[[(2Z)-3-[1,1'-biphenyl]-4-yl-3-(2-thienyl)-2-propen-1-ΙN yl]thio]-2-methylphenoxy]-, ethyl ester MF

C30 H28 O3 S2

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

REGISTRY COPYRIGHT 2011 ACS on STN L2 50 ANSWERS

Acetic acid, 2-[4-[((2E)-3-(4-ethoxyphenyl)-3-phenyl-2-propen-1-INyl]thio]phenoxy]-

C25 H24 O4 S MF

Double bond geometry as shown.

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55 ANSWERS

100.0% PROCESSED 4974269 ITERATIONS SEARCH TIME: 00.00.30

35582 ANSWERS

L3 35582 SEA SSS FUL L1

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'SET/A' IS NOT VALID HERE
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FILE LAST UPDATED: 24 Jan 2011 (20110124/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

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=> 13

L4 253 L3

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L6 51 L4 AND L5

=> d 16 41-51 ti

- L6 ANSWER 41 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Preparation of pyrazolopyrimidines and related compounds as hPPAR and hPPAR ligands
- L6 ANSWER 42 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Preparation of 5-amino-4-phenyl-1H-imidazoles as inhibitors of protein tyrosine phosphatase 1B (PTP-1B)
- L6 ANSWER 43 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Long-chain, unsaturated, aromatic dicarboxylic acid derivatives, their preparation, and therapeutic use for treatment of conditions mediated by peroxisome proliferator-activated receptors (PPAR).
- L6 ANSWER 44 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Classification of Inhibitors of Protein Tyrosine Phosphatase 1B Using Molecular Structure Based Descriptors
- L6 ANSWER 45 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Preparation of aryl or heterocyclyl-substituted benzoic acid and alkanoic acid derivatives as antagonists of prostaglandin E2 (PEG2) receptors
- L6 ANSWER 46 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Preparation of thiazole and oxazole derivatives for treating human PPAR related disorders
- L6 ANSWER 47 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Preparation of phenylmethylalkanoic acid derivatives as PPAR α agonists useful in the treatment of hyperlipidemia, arteriosclerosis, diabetes, and obesity
- L6 ANSWER 48 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Preparation of biaryloxa(thia)zole derivatives as PPAR modulators
- L6 ANSWER 49 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Novel inhibitors of formation of advanced glycation endproducts (AGE's)

- L6 ANSWER 50 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Novel Benzofuran and Benzothiophene Biphenyls as Inhibitors of Protein Tyrosine Phosphatase 1B with Antihyperglycemic Properties
- L6 ANSWER 51 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Novel Inhibitors of Advanced Glycation Endproducts
- => d 16 43, 45, 47, 49, 51 ti fbib abs
- L6 ANSWER 43 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Long-chain, unsaturated, aromatic dicarboxylic acid derivatives, their preparation, and therapeutic use for treatment of conditions mediated by peroxisome proliferator-activated receptors (PPAR).
- AN 2003:319859 CAPLUS <<LOGINID::20110125>>
- DN 138:337836
- TI Long-chain, unsaturated, aromatic dicarboxylic acid derivatives, their preparation, and therapeutic use for treatment of conditions mediated by peroxisome proliferator-activated receptors (PPAR).
- IN Sauerberg, Per; Bury, Paul Stanley; Jeppesen, Lone; Mogensen, John Patrick
- PA Novo Nordisk A/S, Den.
- SO PCT Int. Appl., 104 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

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53 | | A1 | _ | 2003 | 0424 | | | | | | 2 | | 2 | 0021 | 015 | |
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 138:337836 GI

$$\begin{array}{c} O \\ \longrightarrow \\ D-O \end{array} = \begin{array}{c} O \\ \longrightarrow \\ D-E \end{array} = \begin{array}{c} O \\ \longrightarrow \\ O-E \end{array} = \begin{array}{c} O$$

AB A novel class of dicarboxylic acid derivs., I, is disclosed [wherein: A = (un)substituted C1-3 alkylene, or A'O or A'S where A' is (un)substituted C1-3 alkylene; B = (un)substituted C1-3 alkylene, or OB' or SB' where B' is (un) substituted C1-3 alkylene; D, E = H, C1-6 alkyl, C3-6 cycloalkyl; L, M = O or S; T, U = C3-9 divalent, (un)substituted, unsatd. carbon chain; X, Y = (un)substituted arylene or heteroarylene; Z = (un) substituted arylene, heteroarylene, or divalent polycyclic ring system]. Also disclosed is the use of I in pharmaceutical compns., pharmaceutical compns. comprising ${\ensuremath{\text{I}}}$, and methods of treatment employing ${\ensuremath{\text{I}}}$ and the compns. The present compds. may be useful (no data) in the treatment and/or prevention of conditions mediated by peroxisome proliferator-activated receptors (PPAR). For example, 1,4-diiodobenzene was coupled with excess 2-penten-4-yn-1-ol in (iso-Pr)2NH in the presence of CuI and Pd(PPh3)4 at 60° , to give 55%(E,E)-5-[4-(5-hydroxypent-3-en-1-ynyl)phenyl]pent-2-en-4-yn-1-ol.Mitsunobu reaction of this diol with (S)-2-ethoxy-3-(4-hydroxyphenyl)propionic acid Et ester using azodicarboxylic acid dipiperidide and PBu3 in THF gave 27% invention

compound II. A total of 29 synthetic examples illustrate a variety of I, mostly sym. diacids and diesters, and mostly stereoisomeric, with all stereoisomers having (E) and (S) stereochem. at double bonds and chiral centers. Claims list a wide variety of sym. and asym. I, all named without stereochem. Claimed applications include treatment of type I and II diabetes, dyslipidemia, syndrome X and its conditions, cardiovascular diseases including atherosclerosis, and hypercholesterolemia.

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

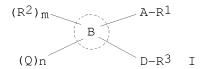
- L6 ANSWER 45 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Preparation of aryl or heterocyclyl-substituted benzoic acid and alkanoic acid derivatives as antagonists of prostaglandin E2 (PEG2) receptors
- AN 2003:154382 CAPLUS <<LOGINID::20110125>>
- DN 138:187795
- TI Preparation of aryl or heterocyclyl-substituted benzoic acid and alkanoic acid derivatives as antagonists of prostaglandin E2 (PEG2) receptors
- IN Tani, Kousuke; Asada, Masaki; Kobayashi, Kaoru; Narita, Masami; Ogawa, Mikio
- PA Ono Pharmaceutical Co., Ltd., Japan
- SO PCT Int. Appl., 1009 pp. CODEN: PIXXD2
- DT Patent
- LA Japanese

FAN.CNT 1

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 138:187795 GI



Carboxylic acid derivs. (I) and nontoxic salts thereof [wherein R1 = CO2H, AΒ CO2R4, CH2OH, COR5SO2R6, CONH2, CH2NR5SO2R6, CH2NR9COR10, CH2NR9CONR5SO2R6, CH2SO2NR9COR10, CH2O2CNR5SO2R6, tetrazole, 1,2,4-oxadiazol-5-one, 1,2,4-oxadiazol-5-thione, 1,2,4-thiadiazol-5-one, etc. (wherein R4 = C1-6 alkyl, hydroxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, carboxy-C1-4 alkyl, etc.; R5, R9 = H, C1-6 alkyl; R6 = C1-6 alkyl, C3-15 mono-, di-, or tricarbocyclic, 3- to 13-membered mono-, di-, or tricyclic heterocyclyl, etc.; R10 = H, R6); A = a single bond, C1-6 alkylene, C2-6alkenylene, C2-6 alkynylene, etc.; the ring B = C3-12 mono- or dicyclic carbocyclic ring, 3- to 12-membered mono- or dicyclic heterocyclic ring; R2 = C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C2-6 alkenyl, C2-6 alkynyl, halo, CHF2, CF3, NO2, cyano, Ph, oxo; m, n = 0,1,2; Q = (C1-4 alkylene,C2-4 alkenylene, or C2-4 alkynylene)-Cyc2, -C1-4 alkylene-Z-Cyc3, amino-C1-4 alkyl, cyano-C1-4 alkyl, acylamino-C1-4 alkyl, 3- to 7-membered monocyclic carbocyclyl, 3- to 6-membered monocyclic heterocyclyl, etc. (wherein Cyc2, Cyc3 = C3-15 mono-, di-, or tricyclic carbocyclyl or

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heterocyclyl, etc.; Z = O, S, SO, SO2, NH, NHCO, etc.); D = an linking
chain consisting of 1-2 or 3-6 of atoms selected from C, N, O, or S, etc.;
R3 = C1-6 alkyl, C3-15 mono-, di-, or tricyclic carbocyclyl, 3- to
15-membered mono-, di-, or tricyclic heterocyclyl, etc.] are prepared These
carboxylic acid derivs. include phenylpropanoic acid, phenylpropenoic
acid, phenylpropanamide, phenylpropenamide, 3-oxoisoindolin-1-ylacetic
acid, benzylbenzoic acid, benzylaminoacetic acid, pyrazolylmethylbenzoic
acid, benzoylaminoacetic acid, (pyrazolylmethylphenyl)propenoic acid,
pyrazolylmethylpropanoic acid, (pyridinyloxyphenyl)propanoic acid,
phenoxyacetic acid, phenylbutanoic acid, (pyrazolylmethyl)propanamide,
(piperazinylmethylphenyl)propanamide,
(morpholinylmethylphenyl)propanamide, (pyridinyloxyphenyl)propanamide,
(pyrazolylmethyl)propenamide (oxoimidazolidinylmethylphenyl)propanamide,
(oxopyrrolidinylmethylphenyl)propenamide,
(thiophenylmethylphenyl)propenamide,
(pyrazolylmethylphenylamino) acetamide,
(thiazolylaminomethylphenyl)propanamide, thiophenylpropenamide,
(pyrazolylmethylphenoxy) acetamide, (phenoxymethyl) benzamide,
(pyrazolylmethylphenylethyl)-1,2,4-oxadiazol-5-one, and
(pyrazolylmethylphenylindolyl)acetic acid. Because of binding to PEG2
receptors, in particular, subtype EP3 and/or subtype EP4 and having
antagonism, the compds. I are useful in preventing and/or treating
diseases such as pain, allodynia, hyperalgesia, pruritus (itching),
urticaria, atopic dermatitis, contact dermatitis, Urushi (Japanese lacquer
tree) dermatitis, allergic conjunctivitis, symptoms during dialysis,
asthma, rhinitis, allergic rhinitis, nasal congestion, sneeze, psoriasis,
pollakiuria (increased urinary frequency), urination disorder, ejaculation
(semination) disorder, fever (pyrexia), systemic inflammation reaction,
learning disorder, Alzheimer's disease, neovascularization, cancer
formation, cancer proliferation, cancer metastasis to organs, cancer
metastasis to bone, hypercalcemia accompanied by cancer metastasis to
bone, retinopathy, rubrum, erythema (rash), leucoma, skin moth-patch, heat
burn, burn, steroid burn, kidney failure, nephropathy, acute or chronic
nephritis, blood electrolyte disorder, imminent abortion, threatened
abortion, excessive menstruation, dysmenorrhea, endometriosis,
premenstrual syndrome, uterine gland myopathy, reproduction disorder, and
stress. They are also useful in preventing and/or treating anxiety,
depression, psychophysiol. disorder, mental retardation, thrombus,
embolism, transient ischemic attack, cerebral infarction, atheroma, organ
transplant, heart failure, hypertension, myocardial infarction,
arteriosclerosis, circulation disorders or ulcers associated therewith, nerve
disorders, vascular dementia, edema, diarrhea, constipation, biliary
excretion disorder, ulcerative colitis, Crohn's disease, irritable bowel
syndrome, reduction of rebound after using steroid drugs, aids for decreasing
or removing steroid drugs, bone diseases, systemic granuloma, immune
diseases, pyorrhea alveolaris, gingivitis, periodontal disease, nerve cell
death, lung disorder, liver disorder, acute hepatitis, myocardial
ischemia, Kawasaki disease, multiple organ failure, chronic headache,
angiitis, venous failure, varicose vein (varicosis), anal fistula,
diabetes insipidus, neonatal patent ductus arteriosus, and
cholelithiasis. Thus, 4-hydroxymethyl-2-[2-(naphthalen-2-
yl)ethoxy]cinnamic acid Et ester was mesylated by methanesulfonyl chloride
in the presence of Et3N in THF at 0° for 15 min and condensed with
pyrazole in the presence of NaH in DMF at 0° to give
2-[2-(naphthalen-2-yl)ethoxy]-4-(1-pyrazolylmethyl)cinnamic acid Et ester.
  4-[2-[[2-(Naphthalen-1-yl)propanoyl]amino]-4-
methylthiomethylphenyl]butanoic acid inhibited the binding of [3H]PGE2 to
prostaglandin E2 (PEG2) receptor subtype EP1, Ep2, EP3, and EP4 expressed
in CHO cells with Ki of >10, >10, 0.27, and 0.038 \mu\text{M}, resp. A tablet
pyrazolylmethyl)cinnamic acid was described.
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OSC.G 26 THERE ARE 26 CAPLUS RECORDS THAT CITE THIS RECORD (45 CITINGS)
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 47 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN

TI Preparation of phenylmethylalkanoic acid derivatives as PPAR α agonists useful in the treatment of hyperlipidemia, arteriosclerosis, diabetes, and obesity

AN 2002:428856 CAPLUS <<LOGINID::20110125>>

DN 137:20225

TI Preparation of phenylmethylalkanoic acid derivatives as PPAR α agonists useful in the treatment of hyperlipidemia, arteriosclerosis, diabetes, and obesity

IN Miyachi, Hiroyuki; Nomura, Masahiro; Murakami, Kouji

PA Kyorin Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 67 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

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| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | KP, | KR, | KΖ, | LC, | LK, | LR, |
| | LS, LT, LU | | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NΖ, | OM, | PH, | |
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| | | UG, | US, | UZ, | VN, | YU, | ZA, | ZW | | | | | | | | | |
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| | | CY, | DE, | DK, | ES, | FΙ, | FR, | GB, | GR, | ΙE, | ΙΤ, | LU, | MC, | NL, | PT, | SE, | TR, |
| | | BF, | ΒJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | ΤG |
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RW: | WO 20020441 W: AE, CO, GM, LS, PL, UG, RW: GH, CY, BF, | W: AE, AG, CO, CR, GM, HR, LS, LT, PL, PT, UG, US, RW: GH, GM, CY, DE, BF, BJ, | WO 2002044127 W: AE, AG, AL, CO, CR, CU, GM, HR, HU, LS, LT, LU, PL, PT, RO, UG, US, UZ, RW: GH, GM, KE, CY, DE, DK, BF, BJ, CF, | WO 2002044127 A1 W: AE, AG, AL, AM, CO, CR, CU, CZ, GM, HR, HU, ID, LS, LT, LU, LV, PL, PT, RO, RU, UG, US, UZ, VN, RW: GH, GM, KE, LS, CY, DE, DK, ES, BF, BJ, CF, CG, AU 2002022552 A | WO 2002044127 A1 W: AE, AG, AL, AM, AT, CO, CR, CU, CZ, DE, GM, HR, HU, ID, IL, LS, LT, LU, LV, MA, PL, PT, RO, RU, SD, UG, US, UZ, VN, YU, RW: GH, GM, KE, LS, MW, CY, DE, DK, ES, FI, BF, BJ, CF, CG, CI, | WO 2002044127 A1 2002 W: AE, AG, AL, AM, AT, AU, CO, CR, CU, CZ, DE, DK, GM, HR, HU, ID, IL, IN, LS, LT, LU, LV, MA, MD, PL, PT, RO, RU, SD, SE, UG, US, UZ, VN, YU, ZA, RW: GH, GM, KE, LS, MW, MZ, CY, DE, DK, ES, FI, FR, BF, BJ, CF, CG, CI, CM, AU 2002022552 A 2002 | WO 2002044127 A1 20020606 W: AE, AG, AL, AM, AT, AU, AZ, CO, CR, CU, CZ, DE, DK, DM, GM, HR, HU, ID, IL, IN, IS, LS, LT, LU, LV, MA, MD, MG, PL, PT, RO, RU, SD, SE, SG, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, CY, DE, DK, ES, FI, FR, GB, BF, BJ, CF, CG, CI, CM, GA, AU 2002022552 A 20020611 | WO 2002044127 A1 20020606 W: AE, AG, AL, AM, AT, AU, AZ, BA, CO, CR, CU, CZ, DE, DK, DM, DZ, GM, HR, HU, ID, IL, IN, IS, JP, LS, LT, LU, LV, MA, MD, MG, MK, PL, PT, RO, RU, SD, SE, SG, SI, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, CY, DE, DK, ES, FI, FR, GB, GR, BF, BJ, CF, CG, CI, CM, GA, GN, AU 2002022552 A 20020611 | WO 2002044127 A1 20020606 WO 20 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, GM, HR, HU, ID, IL, IN, IS, JP, KE, LS, LT, LU, LV, MA, MD, MG, MK, MN, PL, PT, RO, RU, SD, SE, SG, SI, SK, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, CY, DE, DK, ES, FI, FR, GB, GR, IE, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, JP 20 AU 2002022552 A 20020611 AU 20 AU 20 AU 2002022552 A 20020611 AU 20 AU | WO 2002044127 A1 20020606 WO 2001— W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, AU 2002022552 A 20020611 AU 2002— JP 2000— WO 2001— | WO 2002044127 A1 20020606 WO 2001-JP10 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, JP 2000-3636 AU 2002022552 A 20020611 AU 2002-2255 JP 2000-3636 WO 2001-JP10 | WO 2002044127 | WO 2002044127 A1 20020606 WO 2001-JP10355 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, JP 2000-363679 AU 2002022552 A 20020611 AU 2002-22552 JP 2000-363679 WO 2001-JP10355 | WO 2002044127 | WO 2002044127 |

GΙ

$$R^{1}$$

$$(CH_{2})_{n}-A$$

$$X-C-CO-OR^{5}$$

$$R^{3}$$

AB The title compds. I [R1 represents trifluoromethyl, optionally substituted phenoxy, etc.; R2 represents hydrogen or lower alkoxy; R3, R4 and R5 represent each hydrogen or lower alkyl; A represents NHCO or CONH; X is located at the para-position relative to A and represents oxygen or sulfur, or X is located at the para-position relative to R2 and represents oxygen or sulfur; and n is an integer of from 0 to 2], useful as PPARα agonists (no data) for the treatment of hyperlipidemia, arteriosclerosis, diabetes, and obesity, are prepared For example, 2-[[4-[N-[[4-(trifluoromethyl)phenyl]methyl]carbamoyl]-3-

Т

methoxyphenyl]methyl]butyric acid was prepared

OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

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AT 415159
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AΒ Derivs. of aryl and heterocyclic ureido and aryl and heterocyclic carboxamidophenoxyisobutyric acids have been found to inhibit the nonenzymic glycation of proteins which often results in formation of advanced glycation endproducts and crosslinks. Many other phenoxyisobutyric acid derivs. as well as certain other compds. as set out in this disclosure also have been found to inhibit the nonenzymic glycation of proteins. The nonenzymic glycation and crosslinking of proteins is a part of the aging process with the glycation endproducts and crosslinking of long-lived proteins increasing with age. This process is increased at elevated concns. of reducing sugars in the blood and in the intracellular environment such as occurs with diabetes. The structural and functional integrity of the affected mols. become perturbed by these modifications and can result in severe consequences. The compds. of the present invention can be used to inhibit this process of nonenzymic glycation and therefore to inhibit some of the ill effects caused by diabetes or by aging. The compds. are also useful for preventing premature aging, spoilage of proteins in food and can prevent discoloration of teeth.

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 51 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Novel Inhibitors of Advanced Glycation Endproducts
- AN 1999:558280 CAPLUS <<LOGINID::20110125>>
- DN 131:317717
- TI Novel Inhibitors of Advanced Glycation Endproducts
- AU Rahbar, Samuel; Kumar Yernini, Kiran; Scott, Stephen; Gonzales, Noe; Lalezari, Iraj
- CS Department of Diabetes, Endocrinology & Metabolism, City of Hope National Medical Center, Duarte, CA, 91010-0269, USA
- SO Biochemical and Biophysical Research Communications (1999), 262(3), 651-656
 CODEN: BBRCA9; ISSN: 0006-291X
- PB Academic Press
- DT Journal
- LA English
- AB Enhanced formation and accumulation of advanced glycation endproducts (AGE's) have been proposed to play a major role in the pathogenesis of diabetic complications, aging, atherosclerosis, and Alzheimer disease leading to progressive and irreversible intermol. protein crosslinkings. This process is accelerated in diabetes and has been postulated to contribute to the development of a range of diabetic complications including nephropathy, retinopathy and neuropathy. Several potential drug candidates as AGE inhibitors have been reported recently. Aminoguanidine is the first drug extensively studied both in vitro and in vivo. The authors have developed a new class of compds. as potent inhibitors of

glycation and AGE formation. The novel inhibitors reported here are aryl (and heterocyclic) ureido, and aryl (and heterocyclic) carboxamido phenoxy isobutyric acids and related mols., which were found by in vitro assay methods to be potent inhibitors of multiple stage of glycation and AGE formation. (c) 1999 Academic Press.

OSC.G 39 THERE ARE 39 CAPLUS RECORDS THAT CITE THIS RECORD (41 CITINGS)
RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file req COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 36.75 243.02 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL SESSION ENTRY -4.35 CA SUBSCRIBER PRICE -4.35

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STRUCTURE FILE UPDATES: 24 JAN 2011 HIGHEST RN 1260364-77-9 DICTIONARY FILE UPDATES: 24 JAN 2011 HIGHEST RN 1260364-77-9

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2010.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

| => e Acetic | acid, | |
|--------------|--------|---|
| 2-(4-(((2E)- | 3-(4-e | thoxyphenyl)-3-phenyl-2-propen-1-yl)thio)phenoxy)-/cn |
| E1 | 1 | ACETIC ACID, $2-(4-(((2E)-3-(4-CHLOROPHENYL)-3-(4-(3-(DIMETHY LAMINO)-1-PROPYN-1-YL)PHENYL)-2-PROPEN-1-YL)OXY)-2-METHYLPHE NOXY)-/CN$ |
| E2 | 1 | ACETIC ACID, $2-(4-(((2E)-3-(4-CHLOROPHENYL)-3-(4-(3-(DIMETHY LAMINO)-1-PROPYN-1-YL)PHENYL)-2-PROPEN-1-YL)OXY)-2-METHYLPHE NOXY)-, METHYL ESTER/CN$ |
| E3 | 1> | ACETIC ACID, 2-(4-(((2E)-3-(4-ETHOXYPHENYL)-3-PHENYL-2-PROPE N-1-YL)THIO)PHENOXY)-/CN |
| E4 | 1 | ACETIC ACID, 2-(4-(((2E)-3-(4-ETHYLPHENYL)-3-PHENYL-2-PROPEN -1-YL)THIO)-2-METHYLPHENOXY)-/CN |
| E5 | 1 | ACETIC ACID, $2-(4-(((2E)-3-(4-FLUOROPHENYL)-3-(2'-(TRIFLUOROMETHYL)(1,1'-BIPHENYL)-4-YL)-2-PROPEN-1-YL)THIO)-2-METHYLPHENOXY)-/CN$ |
| E6 | 1 | ACETIC ACID, $2-(4-(((2E)-3-(4-FLUOROPHENYL)-3-(3'-(TRIFLUOROMETHYL)(1,1'-BIPHENYL)-4-YL)-2-PROPEN-1-YL)THIO)-2-METHYLPHE$ |

| | | NOXY)-/CN |
|-------|---|---|
| E7 | 1 | ACETIC ACID, 2-(4-(((2E)-3-(4-FLUOROPHENYL)-3-(3'-METHOXY(1, 1'-BIPHENYL)-4-YL)-2-PROPEN-1-YL)THIO)-2-METHYLPHENOXY)-/CN |
| E8 | 1 | ACETIC ACID, 2-(4-(((2E)-3-(4-FLUOROPHENYL)-3-(4'-(TRIFLUORO METHYL)(1,1'-BIPHENYL)-4-YL)-2-PROPEN-1-YL)THIO)-2-METHYLPHE NOXY)-/CN |
| E9 | 1 | ACETIC ACID, 2-(4-(((2E)-3-(4-FLUOROPHENYL)-3-(4'-METHOXY(1, 1'-BIPHENYL)-4-YL)-2-PROPEN-1-YL)THIO)-2-METHYLPHENOXY)-/CN |
| E10 | 1 | ACETIC ACID, $2-(4-(((2E)-3-(4-FLUOROPHENYL)-3-(4-(2-(2-PYRID INYL)ETHYNYL)PHENYL)-2-PROPEN-1-YL)OXY)-2-METHYLPHENOXY)-/CN$ |
| E11 | 1 | ACETIC ACID, 2-(4-(((2E)-3-(4-FLUOROPHENYL)-3-(4-(2-(2-PYRID INYL)ETHYNYL)PHENYL)-2-PROPEN-1-YL)OXY)-2-METHYLPHENOXY)-, METHYL ESTER/CN |
| E12 | 1 | ACETIC ACID, 2-(4-(((2E)-3-(4-FLUOROPHENYL)-3-(4-(3-(1H-PYRA ZOL-1-YL)-1-PROPYN-1-YL)PHENYL)-2-PROPEN-1-YL)OXY)-2-METHYLPHENOXY)-/CN |
| => e3 | | |
| L7 | | ETIC ACID, 2-(4-(((2E)-3-(4-ETHOXYPHENYL)-3-PHENYL-2-PROPEN-1)THIO)PHENOXY)-"/CN |

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| CA SUBSCRIBER PRICE | 0.00 | -4.35 |

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FILE COVERS 1907 - 25 Jan 2011 VOL 154 ISS 5 FILE LAST UPDATED: 24 Jan 2011 (20110124/ED) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2010 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2010.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> d 17

L7 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2011 ACS on STN

RN 1027307-67-0 REGISTRY

ED Entered STN: 11 Jun 2008

CN Acetic acid, 2-[4-[[(2E)-3-(4-ethoxyphenyl)-3-phenyl-2-propen-1-yl]thio]phenoxy]- (CA INDEX NAME)

FS STEREOSEARCH

MF C25 H24 O4 S

SR Other Sources

Database: ChemSpider (ChemZoo, Inc.)

Double bond geometry as shown.

=> d 16 30-40 ti fbib absYOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y

- L6 ANSWER 30 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- Preparation of fused heterocyclic derivatives as PPAR modulators for TΙ treatment of diabetes mellitus, syndrome X, and related disorders
- 2004:606439 CAPLUS <<LOGINID::20110125>> AN
- DN 141:157107
- ΤI Preparation of fused heterocyclic derivatives as PPAR modulators for treatment of diabetes mellitus, syndrome X, and related disorders
- ΙN Conner, Scott Eugene; Mantlo, Nathan Bryan; Zhu, Guoxin
- Eli Lilly and Company, USA
- SO PCT Int. Appl., 294 pp. CODEN: PIXXD2
- DT Patent
- LA English

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WO 2003-US39120 W 20031231

US 2005-539477 A3 20050621
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       WO 2004092131 A1 20041028
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 141:157107

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Title compds. I [wherein R1 = H, (un)substituted alkyl, alkenyl, AΒ (hetero)aryl(alkyl), arylheteroalkyl, cycloalkylaryl(alkyl); R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, halo; R9 = H, (un)substituted alkyl, alkylenyl, halo, aryl(alkyl), heteroaryl, allyl, alkoxy, alkylthio, etc.; R10, R11 = independently H, OH, CN, NO2, halo, oxo, (un)substituted (halo)alkyl, alkoxy, cycloalkyl, (hetero)aryl(alkyl), cycloalkylaryl(alkyl), aryloxy, acyl, carboxy, amino, sulfamoyl, etc.; R32 = bond, H, halo, (halo)alkyl, alkyloxo; AL = fused carbocyclic, pyridinyl, pyrimidinyl, Ph; B = S, O, CH2, NH; E = (un)substituted carboxy(methyl), tetrazolyl(methyl), nitriloalkyl, carboxamido(methyl), sulfonamido (methyl); U = (un) substituted aliphatic linker wherein one C of the linker is optionally replaced with O, NH, or S; X = bond, O, S, SO2, NH; Y = bond, CH2, NH; \overline{Z} = N, CH, with the proviso that when B = CH2, then Z = N; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, (4-mercapto-2-methylphenoxy)acetic acid Me ester was coupled with toluene-4-sulfonic acid 2-(4-trifluoromethylphenyl)-5,6-dihydro-4Hcyclopentathiazol-4-ylmethyl ester in the presence of Cs2CO3 in anhydrous acetonitrile to give the [[(cyclopentathiazolylmethyl)sulfanyl]phenoxy]ace tate (45%), which was saponified with LiOH in THF to afford II (quant.). I and their pharmaceutical compns. are expected to be effective in treating and preventing Syndrome X, Type II diabetes, cardiovascular disorders, inflammatory conditions, and other disorders (no data).

OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 31 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Use of α -phenylthiocarboxylic and α -phenyloxycarboxylic acids with serum glucose-lowering and serum lipid-lowering activity
- AN 2004:550873 CAPLUS <<LOGINID::20110125>>
- DN 141:82339
- TI Use of α -phenylthiocarboxylic and α -phenyloxycarboxylic acids with serum glucose-lowering and serum lipid-lowering activity
- IN Giannessi, Fabio; Tassoni, Emanuela; Tinti, Maria Ornella; Pessotto, Pompeo; Dell'Uomo, Natalina; Sciarroni, Anna Floriana; Brunetti, Tiziana; Milazzo, Ferdinando Maria
- PA Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy
- SO PCT Int. Appl., 76 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

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CR, CU,
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LT, LU,
PH, PL,
TT, TZ, | A1 AM, A7 CZ, DE ID, II LV, MA PT, RC UA, UC | 20040708 T, AU, AZ, E, DK, DM, L, IN, IS, A, MD, MG, D, RU, SC, G, US, UZ, | WO 2003-IT820 BA, BB, BG, BR, BY, DZ, EC, EE, ES, FI, JP, KE, KG, KP, KR, MK, MN, MW, MX, MZ, SD, SE, SG, SK, SL, VC, VN, YU, ZA, ZM, | 20031216 BZ, CA, CH, CN, GB, GD, GE, GH, KZ, LC, LK, LR, NI, NO, NZ, OM, SY, TJ, TM, TN, ZW |
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IT 2002-RM629 | CZ, DE, DK, EE,
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 141:82339

GΙ

$$R-(CH_2)_n+Q$$

AB The invention describes the use of derivs. of α -phenylthiocarboxylic and α -phenyloxycarboxylic acids I [R = H, (un)substituted (hetero)aryl; n = 0-3; p = 0, 1; X = OH, O-(C1-4 alkyl); R1, R2 = H, C1-5 alkyl, COX; Q = NH, O, S, NHC(O)O, etc.; Y = O, S] for the preparation of a medicament for the prophylaxis and treatment of diabetes, particularly type 2 diabetes, its complications, the various forms of insulin resistance, and hyperlipidemias. Compound preparation is also described.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 32 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN

TI Preparation of dimeric dicarboxylic acid derivatives as PPAR agonists

AN 2004:546467 CAPLUS <<LOGINID::20110125>>

DN 141:106263

TI Preparation of dimeric dicarboxylic acid derivatives as PPAR agonists

IN Sauerberg, Per; Jeppesen, Lone; Polivka, Zdenek; Sindelar, Karel

PA Novo Nordisk A/S, Den.

SO PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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| | PATENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION 1 | . O <i>V</i> | | D. | ATE | |
| | | | | | | _ | | | | | | | | | _ | | |
| ΡI | WO 2004056740 | | | | A1 | | 2004 | 0708 | , | WO 2 | 003- | DK89 | 5 | | 2 | 00312 | 218 |
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| | | GE. | GH. | GM. | HR. | HU. | TD. | TIL | TN. | TS. | JP. | KE. | KG. | KP. | KR. | K7. | LC. |

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                                                                20031218
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        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
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                                        WO 2003-DK895
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                                        WO 2003-DK895
                                                            W
                                                                20031218
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 141:106263

AB The title compds. DOC(0)AXLTZUMYBC(0)OE [I; A, B = (un)substituted alkylene, O(alkylene), S(alkylene); D, E = H, alkyl, cycloalkyl; L, M = O, S; T, U = (un)substituted divalent saturated carbon chain, NR1(alkylene) (wherein R1 = H, alkyl); X, Y = (un)substituted arylene, heteroarylene; Z = (un)substituted arylene, heteroarylene, divalent polycyclic ring system] which may be useful in the treatment and/or prevention of conditions mediated by Peroxisome Proliferator-Activated Receptors (PPAR) (no specific biol. data given), were prepared and formulated. E.g., a multi-step synthesis of II, is given. The compds. I are claimed as selective PPAR δ agonists useful in treating diabetes, syndrome X, cardiovascular diseases, dyslipidemia, and hypercholesteremia. OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS) RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 33 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Receptor function controlling agent
- AN 2004:412803 CAPLUS <<LOGINID::20110125>>
- DN 141:1264
- TI Receptor function controlling agent

Fukatsu, Kohji; Sasaki, Shinobu; Hinuma, Shuji; Ito, Yasuaki; Suzuki, ΤN Nobuhiro; Harada, Masataka; Yasuma, Tsuneo PΑ Takeda Chemical Industries, Ltd., Japan SO PCT Int. Appl., 442 pp. CODEN: PIXXD2 DT Patent LA Japanese FAN.CNT 2 KIND DATE APPLICATION NO. PATENT NO. WO 2004041266 A1 0000 _____ A1 20040521 WO 2003-JP14139 20031106 PΙ W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG JP 2002-324632 A 20021108 A 20030127 A 20030530 JP 2003-16889 JP 2003-153986 CA 2505322 Α1 20040521 CA 2003-2505322 20031106 A 20021108 A 20030127 JP 2002-324632 JP 2003-16889 JP 2003-153986 A 20030530 WO 2003-JP14139 W 20031106 ∠UU31106 A 20021108 20031106 AU 2003277576 A1 20040607 AU 2003-277576 JP 2002-324632 A 20030127 JP 2003-16889 A 20030530 JP 2003-153986 WO 2003-JP14139 W 20031106 JP 2005015461 А 20050120 JP 2003-376833 20031106 JP 4594611 В2 20101208 JP 2002-324632 A 20021108 JP 2003-16889 A 20030127 JP 2003-153986 A 20030530 EP 1559422 A1 20050803 EP 2003-810621 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK JP 2002-324632 A 20021108 JP 2003-16889 A 20030127 JP 2003-153986 A 20030530 WO 2003-JP14139 W 20031106 CN 2003-80108260 CN 1735408 20060215 20031106 Α A 20021108 A 20030127 JP 2002-324632 JP 2003-16889 A 20030530 JP 2003-153986 US 20090012093 A1 20090108 US 2005-534081 20050613 A 20021108 JP 2002-324632 A 20030127 A 20030530 W 20031106 JP 2003-16889 JP 2003-153986 WO 2003-JP14139 PATENT FAMILY INFORMATION:

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
    MARPAT 141:1264
     A GPR40 receptor function controlling agent which contains a compound having
     an aromatic ring and a group capable of releasing a cation and is useful as a
     insulin secretion promoting agent or a preventive/remedy for
     diabetes, etc.
              THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (37 CITINGS)
OSC.G
        18
RE.CNT 6
              THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 34 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
     PPAR activators for treatment of diabetes and related conditions
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- L6
- ΤI Preparation of [[(diarylallyl)sulfanyl]phenoxy]acetic acids and esters as
- ΑN
- 140:374984 DN

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AΒ

- ΤI Preparation of [[(diarylallyl)sulfanyl]phenoxy]acetic acids and esters as PPAR activators for treatment of diabetes and related conditions
- Jeppesen, Lone; Mogensen, John Patrick; Pettersson, Ingrid; Sauerberg, ΙN Per; Pihera, Pavel; Havranek, Miroslav
- Novo Nordisk A/S, Den. PA
- SO PCT Int. Appl., 124 pp. CODEN: PIXXD2
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| | | | WO 2003-DK722 | W | 20031027 |
| NO 2005002575 | A | 20050527 | NO 2005-2575 | | 20050527 |
| | | | DK 2002-1631 | A | 20021028 |
| | | | DK 2003-793 | A | 20030526 |
| | | | WO 2003-DK722 | W | 20031027 |
| AU 2010201560 | A1 | 20100506 | AU 2010-201560 | | 20100419 |
| | | | DK 2002-1631 | A | 20021028 |
| | | | DK 2003-793 | A | 20030526 |
| | | | AU 2003-273783 | A3 | 20031027 |
| | | | WO 2003-DK722 | W | 20031027 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 140:374984

AB Title compds. I [wherein X1 and X2 = independently (un)substituted (hetero)aryl; Ar = (un)substituted arylene; Y1 and Y2 = independently O or S; Z = (CH2)n; n = 1-3; R1 = H, halo, or optionally halo-substituted (cyclo)alkyl, alkenyl, alkynyl, (hetero)aralkyl, (cyclo)alkoxy, aryloxy, (hetero)aralkoxy, (cyclo)alkylthio, or arylthio; R2 = H, (cyclo)alkyl, alkenyl, alkynyl, alkenynyl, or aryl; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, mixts. of stereoisomers, or polymorphs thereof] were prepared as peroxisome proliferator activated receptors (PPAR) activators (no data). Thus, I and their pharmaceutical compns. are useful for the treatment and/or prevention of conditions mediated by PPAR, particularly subtype PPAR δ , such as diabetes, impaired glucose tolerance, insulin resistance, obesity, dyslipidemia, syndrome X, cardiovascular disease, and hypercholesteremia (no data). For example, coupling of 4,4'-dibromobenzophenone with tri-Et phosphonoacetate in toluene and THF using NaH provided Et 3,3-bis(4-bromophenyl)acrylate (73%). Reduction of the ester to the alc. (76%) using DIBAL-H in THF and toluene, followed by reaction with (4-mercapto-2-methylphenoxy) acetic acid Me ester in the presence of ADDP and tributylphosphine in THF gave II (88%).

- OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS) RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
- ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L6 ANSWER 35 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Preparation of biphenylallylsulfanylphenoxyacetates and related compounds for treating peroxisome proliferator activated receptor (PPAR) mediated diseases
- AN 2004:370891 CAPLUS <<LOGINID::20110125>>
- DN 140:391127
- TI Preparation of biphenylallylsulfanylphenoxyacetates and related compounds for treating peroxisome proliferator activated receptor (PPAR) mediated diseases
- IN Jeppesen, Lone; Pettersson, Ingrid; Sauerberg, Per; Pihera, Pavel;
 Havranek, Miroslav
- PA Novo Nordisk A/S, Den.
- SO PCT Int. Appl., 69 pp. CODEN: PIXXD2
- DT Patent

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| | CN 1708479 | | | | A | | 2005 | 1214 | | CN | 2003 | -8010
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2010 | | | JP | 2004 | -545° | | | 2 | 0031 | 027 |
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0021 | 027 |

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| IN | 2005DN01622 | A | 20070119 | IN | 2005-DN1622 | | 20050421 |
| | | | | DK | 2002-1629 | Α | 20021028 |
| | | | | WO | 2003-DK723 | W | 20031027 |
| MX | 2005004405 | A | 20050705 | MX | 2005-4405 | | 20050425 |
| | | | | DK | 2002-1629 | Α | 20021028 |
| | | | | WO | 2003-DK723 | W | 20031027 |
| US | 20060287393 | A1 | 20061221 | US | 2006-439827 | | 20060523 |
| US | 7709528 | B2 | 20100504 | | | | |
| | | | | DK | 2002-1629 | Α | 20021028 |
| | | | | US | 2002-423644P | Р | 20021104 |
| | | | | US | 2003-692561 | В1 | 20031024 |

OS MARPAT 140:391127

GΙ

Title compds. [I; X1, X3 = (substituted) aryl, heteroaryl; X2, Ar = (substituted) aryl, arylene; Y1, Y2 = O, S; Z = (CH2)n; n = 1-3; R1 = H, halo, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl, aralkyl, heteroaralkyl, alkoxy, cycloalkoxy, alkylthio, etc.; R2 = H, alkyl, cycloalkyl, alkenyl, aryl, etc.], were prepared for treatment of PPAR mediated disease (no data). Thus, [4-[3,3-bis-(4-bromophenyl)allylsulfanyl]-2-methylphenoxy]acetic acid (preparation given), PhB(OH)2, KF, Pd2(dba)3, and Pd[P(tBu)3]2 were stirred in THF to give [4-[3-biphenyl-4-yl-3-(4-bromophenyl)allylsulfanyl]phenoxy]acetic acid.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 36 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Preparation of [[[bis(biphenylyl)allyl]oxy]phenoxy]acetic acids and analogs as PPAR δ agonists for treatment of diabetes and related conditions
- AN 2004:220310 CAPLUS <<LOGINID::20110125>>
- DN 140:270625
- TI Preparation of [[[bis(biphenylyl)allyl]oxy]phenoxy]acetic acids and analogs as PPAR δ agonists for treatment of diabetes and related conditions
- IN Jeppesen, Lone; Mogensen, John Patrick; Pettersson, Ingrid; Sauerberg, Per
- PA Novo Nordisk A/s, Den.
- SO PCT Int. Appl., 78 pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 1

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 140:270625

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 $x^{4}-x^{3}$
 y^{1}
 Ar
 y^{2}
 z
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 R^{2}
 I

Me
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 $CO_{2}H$
 TT

AΒ Title vinyl carboxylic acid derivs. I [wherein X1 and X3 = independently (un) substituted (hetero) aryl; X2 and X4 = independently (un) substituted (hetero)arylene; Ar = (un)substituted arylene; Y1 and Y2 = independently O or S; Z = (CH2)n; n = 1-3; R1 = H, halo, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (hetero)aralkyl, (cyclo)alkoxy, aryloxy, (hetero)aralkoxy, (cyclo)alkylthio, arylthio; R2 = H, (cyclo)alkyl, alkenyl, alkynyl, or aryl; or pharmaceutically acceptable salts, solvates, tautomers, stereoisomers, or polymorphs thereof] were prepared as peroxisome proliferator-activated receptor δ (PPAR δ) agonists (no data). For example, 4,4'-dibromobenzophenone was coupled with tri-Et phosphonoacetate in the presence of NaH in toluene to give Et 3,3-bis(4-bromophenyl)acrylate (73%). Reduction using DIBAL-H in THF (76%), followed by ADDP-catalyzed condensation with (4-mercapto-2-methylphenoxy)acetic acid Me ester in THF (88%) afforded [4-[3,3-bis(4-bromophenyl)allylsulfanyl]-2-methylphenoxy]acetic acid Me ester. Saponification (93%) and substitution with phenylboronic acid using KF, Pd2(dba)3, and Pd[P(t-Bu)3]2 in THF (53%) provided II. Also disclosed is the use of I and their pharmaceutical compns. for the treatment of PPAR δ -mediated conditions, such as diabetes, impaired glucose tolerance, insulin resistance, or obesity (no data). THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS) OSC.G 6 RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

L6 ANSWER 37 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN

TI Preparation of phenoxyacetic acids and indanyloxyacetic acids that modulate PPAR activity

ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN 2003:818386 CAPLUS <<LOGINID::20110125>>

DN 139:323345

TI Preparation of phenoxyacetic acids and indanyloxyacetic acids that modulate PPAR activity

IN Filzen, Gary Frederick; Trivedi, Bharat Kalidas; Geyer, Andrew George; Unangst, Paul Charles; Bratton, Larry Don; Auerbach, Bruce Jeffrey PA Warner-Lambert Company LLC, USA SO PCT Int. Appl., 246 pp. CODEN: PIXXD2

DT Patent LA English

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WO 2004- | -463641P
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BA, BB, BG,
DM, DZ, EC, | , BR, BW, | BY, BZ | | |

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        TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 139:323345
GI

$$R^3$$
 R^1
 R^2
 R^2

AB The title compds. [I; X0, X1 = 0, S, CH2, CH:CH, etc.; Ar1, Ar2 = (un)substituted (hetero)aryl, provided that Ar1 is not thiazolyl or oxazolyl; V1 is absent or V1 = (un)saturated (un)substituted hydrocarbon chain having 1-4 atoms; R1, R2 = H, alkyl, alkoxy, etc.; R3, R4 = H, alkyl, alkoxy, etc.; q, r = 0-6] that alter PPAR activity, were prepared and formulated. E.g., a 7-step synthesis of II (starting from 2-hydroxy-4-methoxybenzaldehyde) which showed EC50 of >0-300 nM against PPAR α and PPAR β , was given. The invention also discloses pharmaceutically acceptable compns. comprising the compds. I or their salts, and methods of using them as therapeutic agents for treating or preventing hyperlipidemia, hypercholesteremia, obesity, eating disorders, hyperglycemia, atherosclerosis, hypertriglyceridemia, hyperinsulinemia and

diabetes in a mammal as well as methods of suppressing appetite and modulating leptin levels in a mammal.

OSC.G 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (28 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 38 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Preparation of imidazole and benzimidazole derivatives that inhibit the interaction of ligands with RAGE
- AN 2003:737580 CAPLUS <<LOGINID::20110125>>
- DN 139:261298
- ${\tt TI}$ Preparation of imidazole and benzimidazole derivatives that inhibit the interaction of ligands with RAGE
- IN Mjalli, Adnan M. M.; Andrews, Robert C.; Gopalaswamy, Ramesh; Hari,
 Anitha; Avor, Kwasi; Qabaja, Ghassan; Guo, Xiao-Chuan; Gupta, Suparna;
 Jones, David R.; Chen, Xin
- PA Transtech Pharma, Inc., USA
- SO PCT Int. Appl., 462 pp. CODEN: PIXXD2
- DT Patent
- LA English

| LA
FAN.(| N.CNT 6 | | | | | | | | | | | | | | | | | | |
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| | | | | US | 2008-19045 | Α1 | 20080124 |

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GΙ

$$R^{1}$$
 A
 R^{3}

AB Title compds. and analogs I [wherein A = O, S, or NR2; R1 and R2 = independently H or (un)substituted (hetero)aryl, (cyclo)alkyl, heterocyclyl, alkenyl, alkynyl, alkylene (hetero)aryl, alkylene heterocyclyl, alkylene cycloalkyl, etc.; R3 and R4 = independently H, halo, OH, CN, CONH2, CO2H, or (un)substituted (hetero)aryl, (cyclo)alkyl, heterocyclyl, alkenyl, alkynyl, alkylene (hetero)aryl, alkylene heterocyclyl, alkylene cycloalkyl, etc.; and pharmaceutically acceptable salts thereof] were prepared as modulators of the interaction between the receptor for advanced glycated end products (RAGE) and its ligands, such as advanced glycated end products (AGEs), S100/calgranulin/EN-RAGE, β-amyloid, and amphoterin. For example,

ΙI

1-BOC-4-[2-(4-amino-3-butylaminophenoxy)ethyl]piperazine was condensed with 3-hydroxybenzaldehyde to give the hydroxybenzimidazole. Coupling with cyclohexylmethyl bromide in the presence of NaH in THF afforded II. In binding studies employing S100b as the RAGE ligand, five hundred fifty-one invention compds. exhibited binding with IC50 values of < 10 μM . Thus, I and their pharmaceutical compns. are useful for the management, treatment, control, or as an adjunct treatment for diseases in humans caused by RAGE, including acute and chronic inflammation, the development of diabetic late complications such as increased vascular permeability, nephropathy, atherosclerosis, and retinopathy, the development of Alzheimer's disease, erectile dysfunction, and tumor invasion and metastasis (no data).

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
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- L6 ANSWER 39 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Applications of genetic algorithms on 2D-QSAR analysis of benzofuran and benzothiophene biphenyls as PTP1B inhibitors
- AN 2003:702655 CAPLUS <<LOGINID::20110125>>
- DN 140:53160
- TI Applications of genetic algorithms on 2D-QSAR analysis of benzofuran and benzothiophene biphenyls as PTP1B inhibitors
- AU Pan, Yong-Mei; Ji, Ming-Juan
- CS Graduate School, Chinese Academy of Sciences, Beijing, 100039, Peop. Rep. China
- SO Wuli Huaxue Xuebao (2003), 19(8), 695-700 CODEN: WHXUEU; ISSN: 1000-6818
- PB Beijing Daxue Chubanshe
- DT Journal
- LA Chinese
- AB Quant. structure-activity relationships (QSARs) for 43 benzofuran and benzothiophene biphenyls were studied. By using a genetic algorithm (GA), a group of multiple regression models with high fitness scores (r2 was up to 0.70) were generated. From the statistical analyses of the descriptors used in the evolution procedure, four of them, including the partition coefficient (1 gP), the mol. surface area (Area), the mol. weight (MW), and the dipole vector (Dip) were found to be the principal features affecting the biol. activity. For example, the mol. surface area appeared in 94% of the models in the elite populations. That is to say, the hydrophobic interactions between the inhibitors and the receptors are very important to the biol. activity, which supplies a guide for the design and reconstruction of new PTP1B inhibitors.
- L6 ANSWER 40 OF 51 CAPLUS COPYRIGHT 2011 ACS on STN
- TI Preparation of (arylalkyl)thiazoles and oxazoles as peroxisome proliferator activated receptor modulators for treating diabetes mellitus, syndrome X, and cardiovascular disease
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- TI Preparation of (arylalkyl)thiazoles and oxazoles as peroxisome proliferator activated receptor modulators for treating diabetes mellitus, syndrome X, and cardiovascular disease
- IN Conner, Scott Eugene; Knobelsdorf, James Allen; Mantlo, Nathan Bryan; Schkeryantz, Jeffrey Michael; Shen, Quanrong; Warshawsky, Alan M.; Zhu, Guoxin
- PA Eli Lilly and Company, USA
- SO PCT Int. Appl., 223 pp. CODEN: PIXXD2
- DT Patent
- LA English

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| ΡI | WO | 2003 | 0721 | | | | | | | | | | 03- |
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 139:230768

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Title compds. I [wherein R3, R4, R30, and R40= independently H, alkyl, AB halo, or alkoxy; R5 = (un)substituted alkyl, alkenyl, aryl(oxy)alkyl, or arylthioalkyl; or when R5 = alkyl, R5 may be combined with W to form a heterocycloalkyl fused to the oxazole or thiazole ring; R6 = trihalomethyl, trihalomethoxy, (hydroxy)alkyl, alkylcarbamoyl, tetramethyldioxaborolanyl, halo, alkanoyl, carboxyalkoxy, (cyclo)alkoxy, tetrahydropyranyloxy, morpholinyl, or (un)substituted aryloxy, arylthio, heterocyclyloxy, pyridinyl, pyrimidinyl, pyrazinyl, or arylalkyl; R7 and R8 = independently H, CF3, or alkyl; R9 = (un)substituted (aryl)alkyl or alkenyl; R10 = H or alkyl; Q = a bond, O, or CH2; T1 = C or N; W = CH2, O, OCH2, S, SO2, or (un) substituted CONH, NH, or NHCH2; X = C, CH2C, or CCH2; Y and Z = independently O, N, or S wherein at least 1 of Y and <math>Z = O or S; A = CO2H, alkylnitrile, CONH2, or (CH2)nCO2R19; n = 0-3; R19 = H or alkyl; and pharmaceutically acceptable salts thereof] were prepared as peroxisome proliferator activated receptor δ (PPAR δ) modulators (no data). For example, (4-mercapto-2-methylphenoxy)acetic acid Et ester was condensed with 1-[4-[2-(2-chloro-6-fluorophenyl)]-2-(4trifluoromethylphenyl)thiazol-5-yl]ethanol in the presence of PBu3 and 1,1'-(azodicarbonyl)bipiperidine in toluene. Deesterification with LiOH in THF produced II. I and their pharmaceutical compns. are useful for the prevention and or treatment of diabetes mellitus, syndrome X, and cardiovascular disease (no data).

Ι

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RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L4 253 L3

SAVE TEMP RAWREFS/A L4

L5 190666 DIABETES

L6 51 L4 AND L5

FILE 'REGISTRY' ENTERED AT 10:21:14 ON 25 JAN 2011

E ACETIC ACID, 2-(4-(((2E)-3-(4-ETHOXYPHENYL)-3-PHENYL-2-PROPEN

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USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

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